STIC Search Report
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TO: Tamthom Troung **Location: REM/5C18** **Art Unit: 1624** **Friday, June 24, 2005** **Case Serial Number: 09/918039**	**From: Mary Hale** **Location: Biotech/Chem Library** **Rem 1D86** **Phone: 2-2507** **Mary.Hale@uspto.gov**

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155414

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Enter your Contact Information below:

Name: TAMTHOM TRUONG
Employee Number: 74142 Phone: X20676
Art Unit or Office: 1624 Building & Room Number: REM – 5C18

Enter the case serial number (Required): 9/ 918,039
If not related to a patent application, please enter NA here.

Class / Subclass(es) 514/300; 546/113

Earliest Priority Filing Date: 12/13/1996

10:02 478.76
9:48 – 58
14

Format preferred for results:
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Provide detailed information on your search topic:

- In your own words, describe in detail the concepts or subjects you want us to search.
- Include synonyms, keywords, and acronyms. Define terms that have special meanings.
- *For Chemical Structure Searches Only*
  Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers
- *For Sequence Searches Only*
  Include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.
- *For Foreign Patent Family Searches Only*
  Include the country name and patent number.
- Provide examples or give us relevant citations, authors, etc., if known.
- FAX or send the **abstract, pertinent claims** (not all of the claims), **drawings, or chemical structures** to your EIC or branch library.

Enter your Search Topic Information below:

PLEASE SEARCH CLAIMS 35, AND 39.

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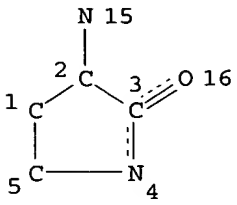
SEND | RESET

Truong
09/918039

=> d l5 que stat;fil caplus;s l5
L1 STR



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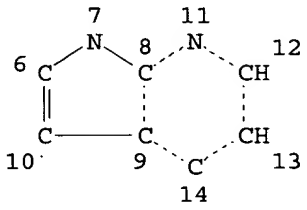
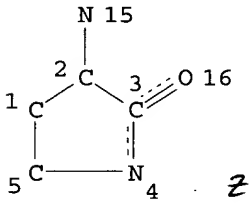


NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L2 STR



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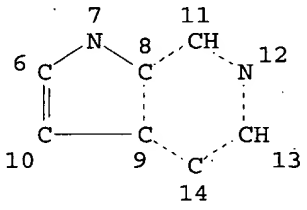
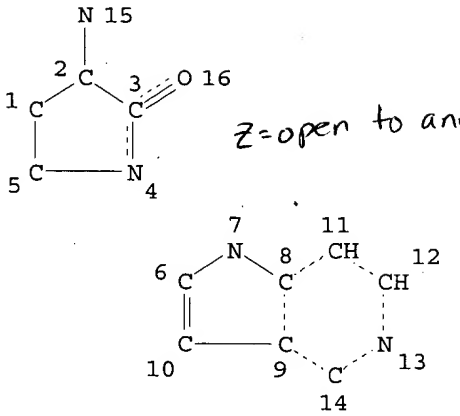


NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L3 STR

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L5 52 SEA FILE=REGISTRY SSS FUL L1 OR L2 OR L3

100.0% PROCESSED 569 ITERATIONS 52 ANSWERS
SEARCH TIME: 00.00.02

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	333.11	333.32

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FILE LAST UPDATED: 22 Jun 2005 (20050622/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

This file contains CAS Registry Numbers for easy and accurate substance identification.

L6 10 L5

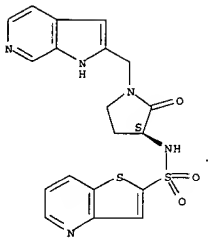
=> d 1-10 ibib abs hitstr

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:832890 CAPLUS
DOCUMENT NUMBER: 142:19473
TITLE: Comparing Ligand Interactions with Multiple Receptors via Serial Docking
AUTHOR(S): Fernandes, Miguel X.; Kairys, Visvaldas; Gilson, Michael K.
CORPORATE SOURCE: Center for Advanced Research in Biotechnology, U. Maryland Biotechnology Institute, Rockville, MD, 20850, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2004), 44(6), 1961-1970
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Standard uses of ligand-receptor docking typically focus on the association of candidate ligands with a single targeted receptor, but actual applications increasingly require comparisons across multiple receptors. This study demonstrates that comparative docking to multiple receptors can help to select homol. models for virtual compound screening and to discover ligands that bind to one set of receptors but not to another, potentially similar, set. A serial docking algorithm is furthermore described that reduces the computational costs of such calcns. by testing compds. against a series of receptor structures and discarding a compound as soon as it fails to satisfy specified bind/no bind criteria for each receptor. The algorithm also realizes substantial efficiencies by taking advantage of the fact that a ligand typically binds in similar conformations to similar receptors. Thus, once detailed docking has been used to fit a ligand into the first of a series of similar receptors, much less extensive calcns. can be used for the remaining structures.
IT **209285-84-7**, RPR 208707
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(ligand interactions with multiple receptors via serial docking through electrostatic force and van der Waals forces)
RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

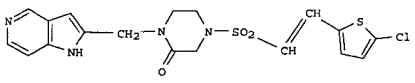
Absolute stereochemistry.

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:89919 CAPLUS
DOCUMENT NUMBER: 138:247939
TITLE: Discovery of an orally efficacious inhibitor of coagulation factor Xa which incorporates a neutral P1 ligand
AUTHOR(S): Choi-Sledeski, Yong Mi; Kearney, Robert; Poli, Gregory; Pauls, Henry; Gardner, Charles; Gong, Yong; Becker, Michael; Davis, Roderick; Spada, Alfred; Liang, Guyan; Chu, Valeria; Brown, Karen; Collussi, Dennis; Leadley, Robert, Jr.; Rebello, Sam; Moxey, Phillip; Morgan, Suzanne; Bentley, Ross; Kasiewski, Charles; Maignan, Sebastien; Guilloteau, Jean-Pierre; Mikol, Vincent
CORPORATE SOURCE: Department of Medicinal Chemistry, Aventis Pharmaceuticals, Bridgewater, NJ, 08807-0800, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(5), 681-684
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:247939
GI

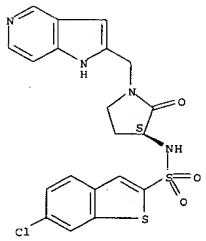


I

AB The discovery and SAR of ketopiperazino methylazaindole factor Xa inhibitors are described. Structure-activity data suggesting that this class of inhibitors does not bind in the canonical mode were confirmed by an X-ray crystal structure showing the neutral haloarom. bound in the S1 subsite. The most potent azaindole (I, RPR209685) is selective against related serine proteases and attains higher levels of exposure upon oral dosing than comparable benzamidines and benzamidine isosteres. Compound I was efficacious in the canine AV model of thrombosis.
IT **209285-75-6 209285-82-5**
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(discovery of an orally efficacious inhibitor of coagulation factor Xa which incorporates a neutral P1 ligand)
RN 209285-75-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

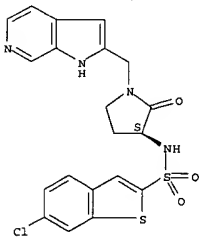
Absolute stereochemistry.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 209285-82-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

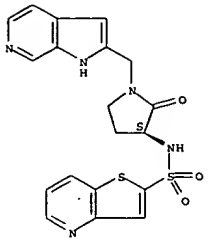
L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:894400 CAPLUS
DOCUMENT NUMBER: 138:133092
TITLE: Crystal Structures of Two Potent Nonamidine Inhibitors Bound to Factor Xa
AUTHOR(S): Adler, Marc; Kochanny, Monica J.; Ye, Bin; Rumennik, Galina; Light, David R.; Biancalana, Sara; Whitlow, Marc
CORPORATE SOURCE: Berlex Biosciences, Richmond, CA, 94804-0099, USA
SOURCE: Biochemistry (2002), 41(52), 15514-15523
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB There has been intense interest in the development of factor Xa inhibitors for the treatment of thrombotic diseases. Our laboratory has developed a series of novel non-amidine inhibitors of factor Xa. This paper presents two crystal structures of compds. from this series bound to factor Xa. The first structure is derived from the complex formed between factor Xa and compound 1. Compound 1 was the first non-amidine factor Xa inhibitor from our laboratory that had measurable potency in an in vitro assay of anticoagulant activity. The second compound, 2, has a molar affinity for factor Xa (Kiapp) of 7 pM and good bioavailability. The two inhibitors bind in an L-shaped conformation with a chloroarom. ring buried deeply in the S1 pocket. The opposite end of these compds. contains a basic substituent that extends into the S4 binding site. A chlorinated Ph ring bridges the substituents in the S1 and S4 pockets via amide linkers. The overall conformation is similar to the previously published structures for amidine-based inhibitors complexed with factor Xa. However, there are significant differences in the interactions between the inhibitor and the protein at the atomic level. Most notably, there is no group that forms a salt bridge with the carboxylic acid at the base of the S1 pocket (Asp189). Each inhibitor forms only one well-defined hydrogen bond to the protein. There are no direct charge-charge interactions. The results indicate that electrostatic interactions play a secondary role in the binding of these potent inhibitors.

IT **209285-84-7**, RPR-208707
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-activity relationship of factor Xa inhibitors; crystal structures of two potent nonamidine inhibitors bound to factor Xa)
RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:630893 CAPLUS
DOCUMENT NUMBER: 135:195505
TITLE: Preparation of azaheterocyclic sulfonamides as factor Xa inhibitors
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 90,492.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281227	B1	20010828	US 1999-453307	19991202
WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
WO 9962904	A1	19991209	WO 1999-US12312	19990603
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WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.: US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
US 1998-90492 A2 19980603
WO 1999-US12312 A2 19990603
US 1999-453307 A 19991202

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 135:195505
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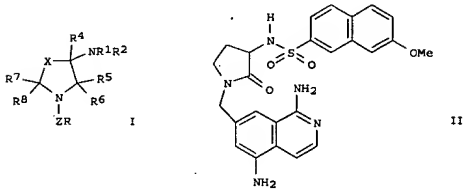
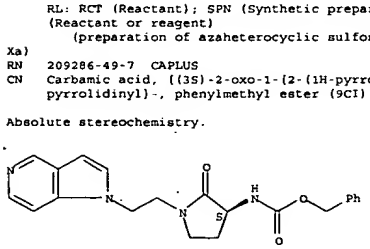


AB Title compds. [I; X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared Thus, title compound II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT **209286-49-7P 209286-51-1P 209286-82-8P**
209286-83-9P 209286-84-0P 209287-05-8P
251936-16-0P 251936-17-1P 251936-18-2P
251936-22-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)
RN 209286-49-7 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



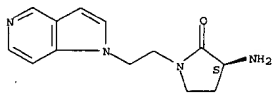
RN 209286-51-1 CAPLUS
CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-,

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 209286-50-0
CMF C13 H16 N4 O

Absolute stereochemistry.

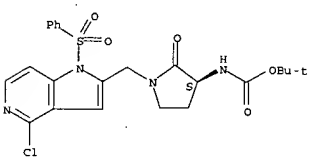


CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 209286-82-8 CAPLUS
CN Carbamic acid, [(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

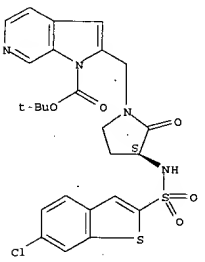
Absolute stereochemistry.



RN 209286-83-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-4-chloro-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

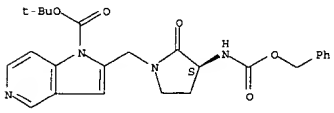
Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



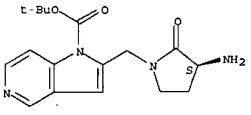
RN 251936-16-0 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



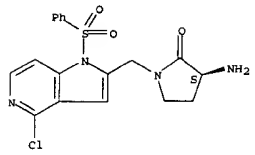
RN 251936-17-1 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251936-18-2 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[(5'-chloro[2,2'-bithiophen]-5-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

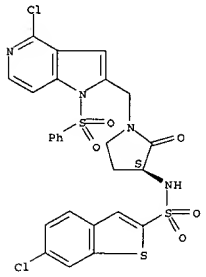
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 209286-84-0 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

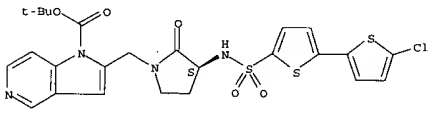


RN 209287-05-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

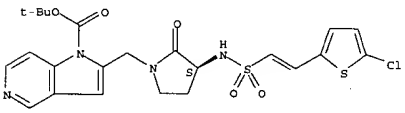
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 251936-22-8 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT **209285-47-2P 209285-74-5P 209285-75-6P**
209285-82-5P 209285-83-6P 209285-84-7P
209285-85-8P 251936-19-3P 251936-23-9P
251937-85-6P 251937-86-7P 251937-87-8P
251937-88-9P 251937-89-0P 251937-90-3P
251937-91-4P 251937-92-5P 251937-93-6P
251937-94-7P 251937-95-8P 251937-96-9P
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251938-00-8P 251938-01-9P 251938-02-0P
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251938-06-4P 251938-07-5P 251938-08-6P
251938-35-9P 251938-38-2P 251938-39-3P
251938-46-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)

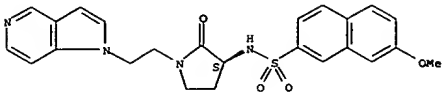
RN 209285-47-2 CAPLUS
CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-46-1
CMF C24 H24 N4 O4 S

Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

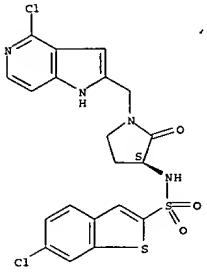


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 209285-74-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[(4-chloro-1H-pyrrolo[3,2-c]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

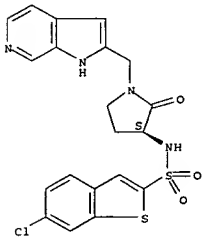


RN 209285-75-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CM 1

CRN 209285-82-5
CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.



CM 2

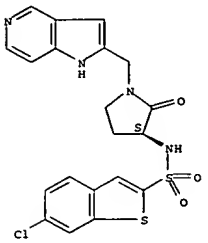
CRN 76-05-1
CMF C2 H F3 O2

RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

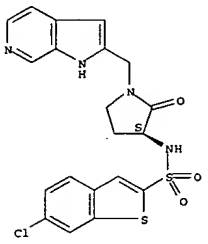
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



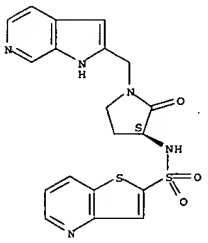
RN 209285-82-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209285-83-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

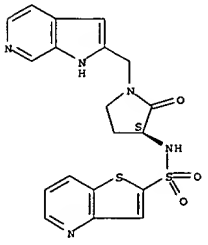


RN 209285-85-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-84-7
CMF C19 H17 N5 O3 S2

Absolute stereochemistry.



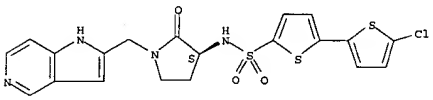
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

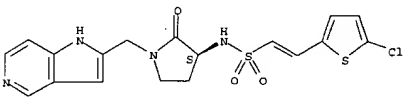
RN 251936-19-3 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251936-23-9 CAPLUS
CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

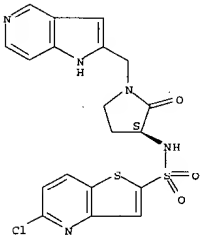
Absolute stereochemistry.
Double bond geometry unknown.



RN 251937-85-6 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

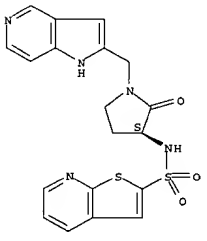
Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



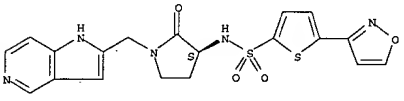
RN 251937-88-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

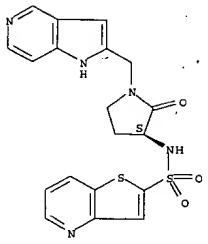


RN 251937-89-0 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

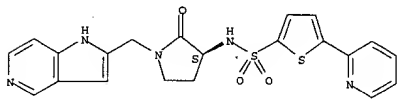


L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-86-7 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



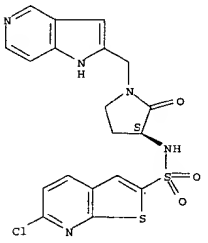
RN 251937-87-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

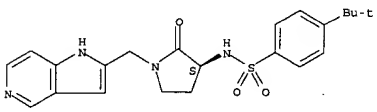
RN 251937-90-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251937-91-4 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

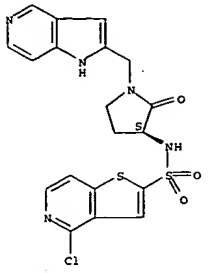
Absolute stereochemistry.



RN 251937-92-5 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

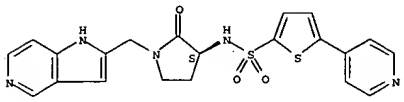
Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-93-6 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

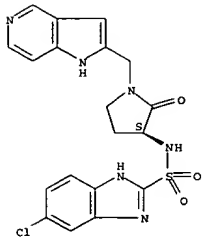
Absolute stereochemistry.



RN 251937-94-7 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

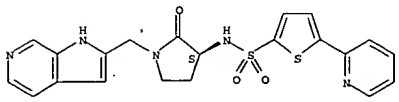
Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



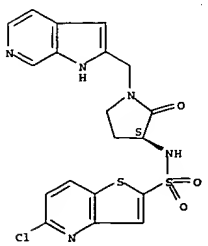
RN 251937-97-0 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

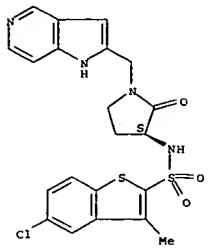


RN 251937-98-1 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

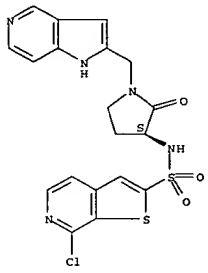


L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-95-8 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



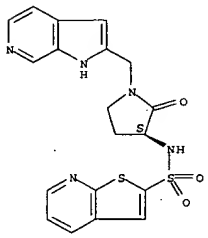
RN 251937-96-9 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

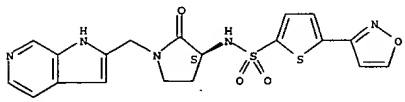
RN 251937-99-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-00-8 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

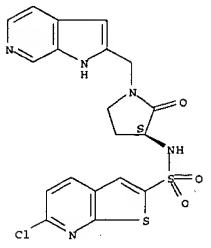
Absolute stereochemistry.



RN 251938-01-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

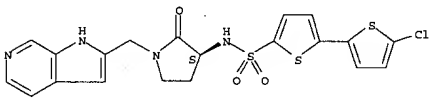
Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



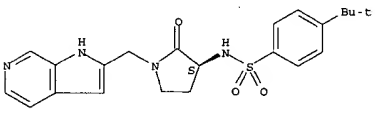
RN 251938-02-0 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



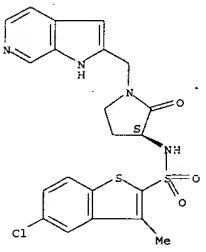
RN 251938-03-1 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



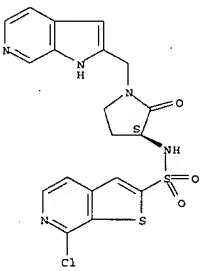
RN 251938-04-2 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251938-07-5 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

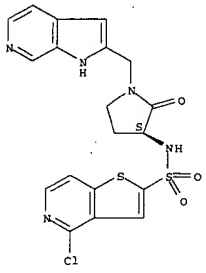


RN 251938-08-6 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

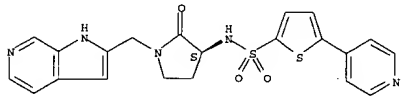
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 251938-05-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

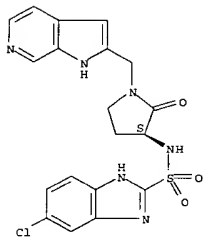
Absolute stereochemistry.



RN 251938-06-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

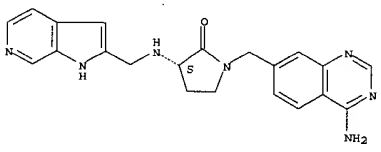
Absolute stereochemistry.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



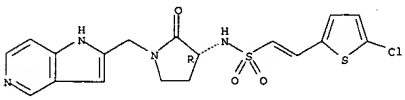
RN 251938-35-9 CAPLUS
CN 2-Pyrrolidinone, 1-[(4-amino-7-quinazolinyl)methyl]-3-[(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-38-2 CAPLUS
CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

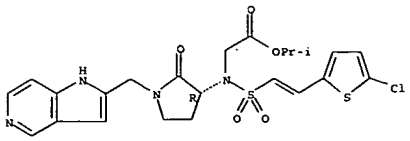
Absolute stereochemistry.
Double bond geometry unknown.



RN 251938-39-3 CAPLUS
CN Glycine, N-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl]-3-pyrrolidinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.

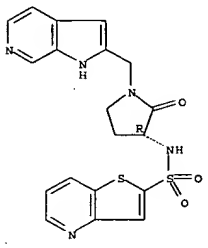


RN 251938-46-2 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3R)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 251938-45-1
CMF C19 H17 N5 O3 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

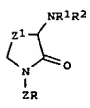
FORMAT

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:416755 CAPLUS
DOCUMENT NUMBER: 135:46082
TITLE: Preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6281227	B1	20010828	US 1999-453307	19991202
PRIORITY APPLN. INFO.:			US 1999-453307	A 19991202
			US 1996-33159P	P 19961213
			WO 1997-US22406	A2 19971203
			US 1998-90492	A2 19980603
			WO 1999-US12312	A2 19990603

OTHER SOURCE(S): MARPAT 135:46082
GI



AB Title compds. [(un)substituted I; R = N-containing heteroaryl; R1 = H, (acyl)alkyl, (hetero)arylalkyl, etc.; R2 = H, (hetero)arylalkyl, carbamoylalkyl, etc.; Z = (NH- or NHCO-interrupted or -terminated) alkylene; Z1 = (CH2)0-3] were prepared Thus, I (R1 = H, Z1 = CH2)(II; R

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

H, R2 = CO2cMe3, Z = bond) was N-alkylated by 7-bromomethyl-1-chloroisoquinoline (prepn. given) and the deprotected product N-acylated by 7-methoxynaphthalene-2-sulfonyl chloride (prepn. given) to give, in 2 addnl. steps, II (R = 1-amino-7-isoquinolyl, R2 = 7-methoxynaphthalene-2-sulfonyl, Z = CH2). Data for biol. activity of I were given.

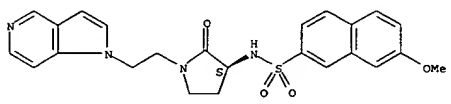
IT 209285-47-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors)

RN 209285-47-2 CAPLUS
CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-46-1
CMF C24 H24 N4 O4 S

Absolute stereochemistry.



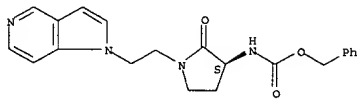
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 209286-49-7P 209286-50-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors)

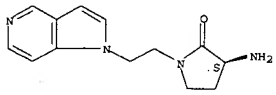
RN 209286-49-7 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.

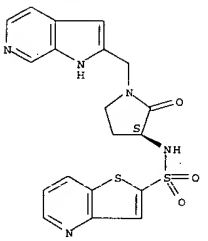


RN 209286-50-0 CAPLUS
CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:543073 CAPLUS
DOCUMENT NUMBER: 133:261091
TITLE: Crystal Structures of Human Factor Xa Complexed with Potent Inhibitors
AUTHOR(S): Maignan, Sebastien; Guilloteau, Jean-Pierre; Pouzieux, Stephanie; Choi-Sledeski, Yong Mi; Becker, Michael R.; Klein, Scott I.; Ewing, William R.; Pauls, Henry W.; Spada, Alfred P.; Mikol, Vincent
CORPORATE SOURCE: Department of Structural Biology, Aventis Pharma, Vitry/Seine, F-94403, Fr.
SOURCE: Journal of Medicinal Chemistry (2000), 43(17), 3226-3232
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

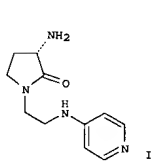
AB Involved in the coagulation cascade, factor Xa (FXa) is a serine protease which has received great interest as a potential target for the development of new antithrombotics. Although there is a great wealth of structural data on thrombin complexes, few structures of ligand/FXa complexes have been reported, presumably because of the difficulty in growing crystals. Reproducible crystallization conditions for human des-Gla1-45 coagulation FXa have been found. This has led to an improvement in the diffraction quality of the crystals (about 2.1 Å) when compared to the previously reported forms (2.3-2.8 Å) thus providing a suitable platform for a structure-based drug design approach. A series of crystal structures of noncovalent inhibitors complexed with FXa have been determined, three of which are presented herein. These include compds. containing the benzamidine moiety and surrogates of the basic group. The benzamidine-containing compound binds in a canonical fashion typical of synthetic serine protease inhibitors. On the contrary, mols. that contain surrogates of the benzamidine group do not make direct hydrogen-bonding interactions with the carboxylate of Asp189 at the bottom of the S1 pocket. The structural data provide a likely explanation for the specificity of these inhibitors and a great aid in the design of bioavailable potent FXa inhibitors.

IT **209285-84-7**, RPR 208707
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(crystal structures of human factor Xa complexed with potent inhibitors)

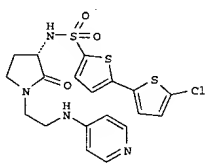
RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:379659 CAPLUS
DOCUMENT NUMBER: 133:144473
TITLE: Solid-phase parallel synthesis of azarene pyrrolidinones as factor Xa inhibitors
AUTHOR(S): Gong, Yong; Becker, Michael; Choi-Sledeski, Yong Mi; Davis, Roderick S.; Salvino, Joseph M.; Chu, Valeria; Brown, Karen D.; Pauls, Henry W.
CORPORATE SOURCE: Department of Medicinal Chemistry, Rhone-Poulenc Rorer, Collegeville, PA, 19426, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1033-1036
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:144473
GI



I



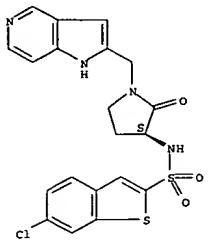
II

AB A focused library (4+14) prepared from 4-aminopyridine and 4-, 5-, and 6-azoindole templates was synthesized using 14 polymer-supported 4-amido-2,3,5,6-tetrafluorophenyl (TFP) sulfonate esters and heteroarylmethyl-substituted arylsulfonylamino pyrrolidinones such as I to give a library of factor Xa inhibitors such as II. Several compds. were identified as factor Xa inhibitors (IC50≤0.1 μM) helping to establish the SAR among these four series of azarene pyrrolidinones. E.g., factor Xa was inhibited by II with a Ki of 15 nM.

IT **209285-75-6P 209285-82-5P 209285-84-7P**
251936-19-3P 251937-85-6P 251937-86-7P
251937-87-8P 251937-88-9P 251937-89-0P
251937-90-3P 251937-91-4P 251937-92-5P
251937-93-6P 251937-94-7P 251937-95-8P
251937-96-9P 251937-97-0P 251937-98-1P
251937-99-2P 251938-00-8P 251938-01-9P
251938-02-0P 251938-03-1P 251938-04-2P
251938-05-3P 251938-06-4P 251938-07-5P
251938-08-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase preparation of a library of heteroarylmethyl arylsulfonylamino pyrrolidinones as factor Xa inhibitors)

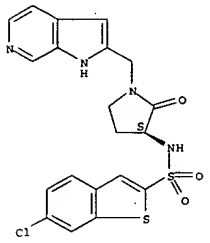
L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 209285-75-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



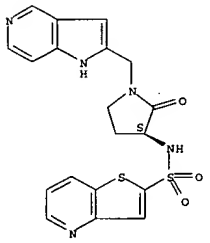
RN 209285-82-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



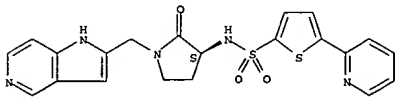
RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



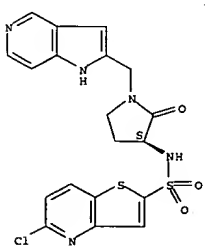
RN 251937-86-7 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



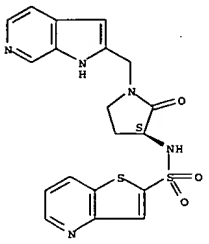
RN 251937-87-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



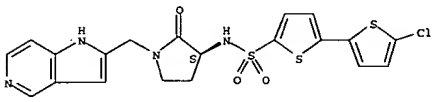
L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 251936-19-3 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



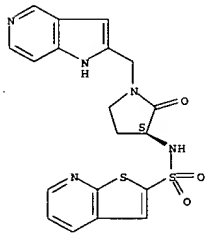
RN 251937-85-6 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

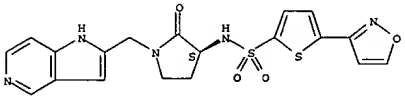
RN 251937-88-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251937-89-0 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

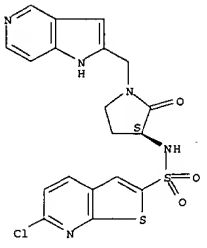
Absolute stereochemistry.



RN 251937-90-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

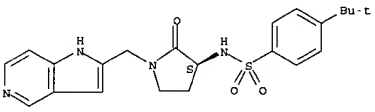
Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-91-4 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

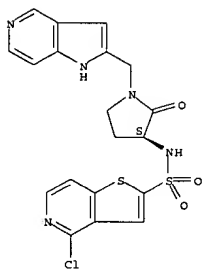
Absolute stereochemistry.



RN 251937-92-5 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

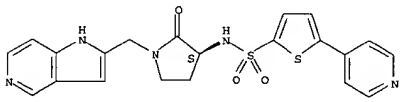
Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-93-6 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

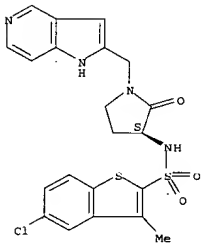
Absolute stereochemistry.



RN 251937-94-7 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

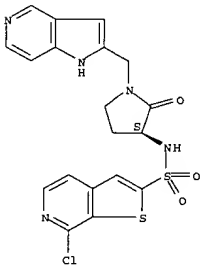
Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-95-8 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

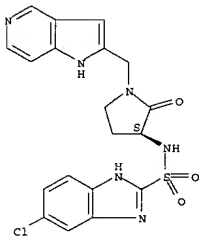
Absolute stereochemistry.



RN 251937-96-9 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

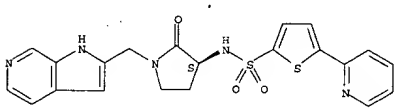
Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



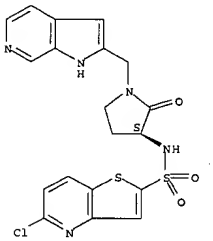
RN 251937-97-0 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251937-98-1 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

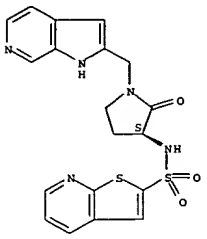
Absolute stereochemistry.



L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

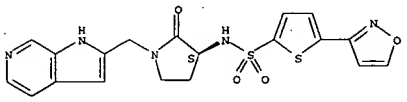
RN 251937-99-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-00-8 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

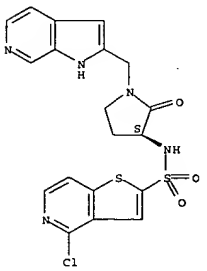


RN 251938-01-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

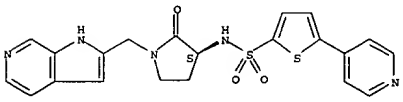
L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 251938-05-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

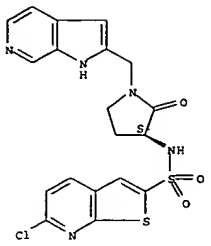
Absolute stereochemistry.



RN 251938-06-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

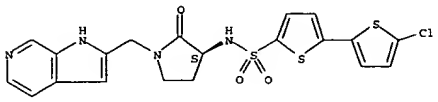
Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



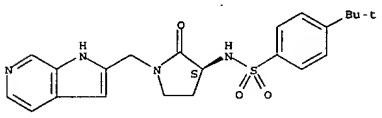
RN 251938-02-0 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



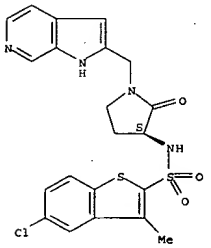
RN 251938-03-1 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



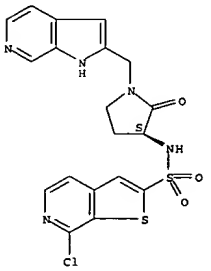
RN 251938-04-2 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251938-07-5 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

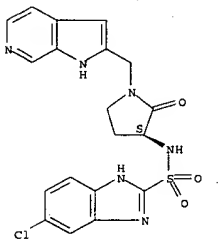
Absolute stereochemistry.



RN 251938-08-6 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

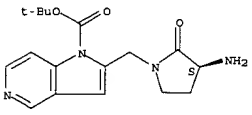
Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **251936-17-1 287203-90-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(solid-phase preparation of a library of heteroarylmethyl arylsulfonylamino
pyrrolidinones as factor Xa inhibitors)
RN 251936-17-1 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

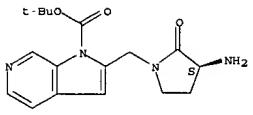
Absolute stereochemistry.



RN 287203-90-1 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

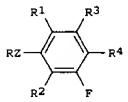


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:819359 CAPLUS
DOCUMENT NUMBER: 132:64065
TITLE: Preparation of fluorobenzoylated resins as solid phase
synthesis supports
INVENTOR(S): Salvino, Joseph M.; Groneberg, Robert D.; Airey, John E.; Poli, Gregory B.; McGeehan, Gerard M.; Labaudiniere, Richard F.; Clerc, Francois-frederic; Bezard, Daniel Noel Andre
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

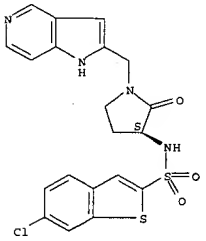
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967228	A1	19991229	WO 1999-US14252	19990623
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335760	AA	19991229	CA 1999-2335760	19990623
AU 9947128	A1	20000110	AU 1999-47128	19990623
AU 764153	B2	20030814		
BR 9911487	A	20010320	BR 1999-11487	19990623
EP 1089988	A1	20010411	EP 1999-930628	19990623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2002518555	T2	20020625	JP 2000-555882	19990623
US 6639023	B1	20031028	US 2000-487950	20000119
NO 2000006662	A	20001227	NO 2000-6662	20001227
BG 105143	A	20010731	BG 2001-105143	20010111
PRIORITY APPLN. INFO.:			US 1998-90558P P	19980624
			WO 1999-US14252 W	19990623

OTHER SOURCE(S): CASREACT 132:64065
GI



L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
AB Title resins [I; R = resin; R1-R3 = H or ring system substituent (sic); R4
= F, OH, alkanoyl- or aroyloxy, SO3H, etc.; Z = Z1SO2, Z1NHSO2, Z1CH2CO, Z1Z2, etc.; Z1 = bond, (un)substituted phenylene, -alkylene, etc.; Z2 = (un)substituted phenylene] were prepared The F atom ortho to the loading site permits the absolute loading of the resin to be determined using 19F NMR.
IT **209285-75-6P 209285-82-5P 209285-84-7P**
251936-19-3P 251937-85-6P 251937-86-7P
251937-87-8P 251937-88-9P 251937-89-0P
251937-90-3P 251937-91-4P 251937-92-5P
251937-93-6P 251937-94-7P 251937-95-8P
251937-96-9P 251937-97-0P 251937-98-1P
251937-99-2P 251938-00-8P 251938-01-9P
251938-02-0P 251938-03-1P 251938-04-2P
251938-05-3P 251938-06-4P 251938-07-5P
251938-08-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluorobenzoylated resins as solid phase synthesis supports)
RN 209285-75-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

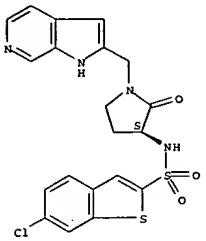
Absolute stereochemistry.



RN 209285-82-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

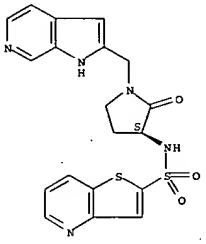
Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

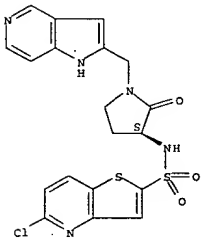
Absolute stereochemistry.



RN 251936-19-3 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

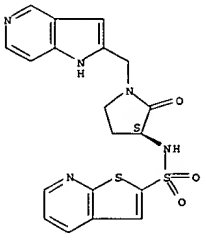
Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



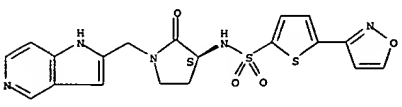
RN 251937-88-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

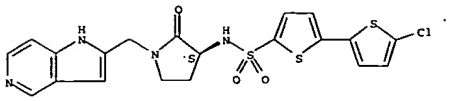


RN 251937-89-0 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

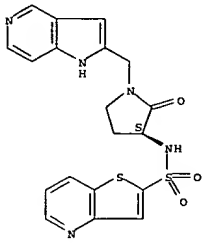


L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



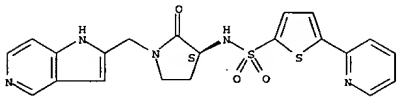
RN 251937-85-6 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251937-86-7 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



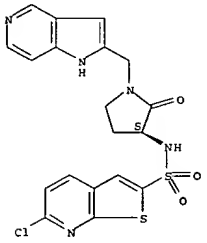
RN 251937-87-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

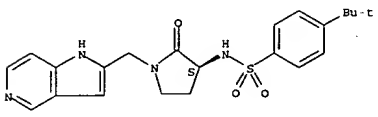
RN 251937-90-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251937-91-4 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

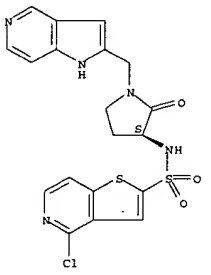
Absolute stereochemistry.



RN 251937-92-5 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

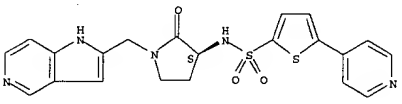
Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-93-6 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

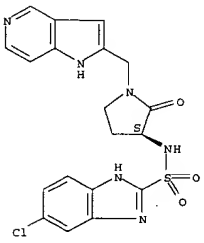
Absolute stereochemistry.



RN 251937-94-7 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

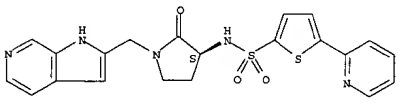
Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



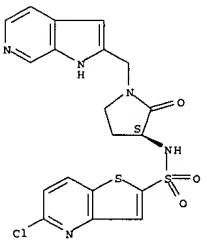
RN 251937-97-0 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

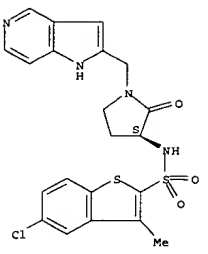


RN 251937-98-1 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

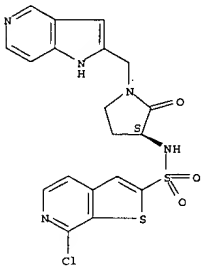


L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-95-8 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



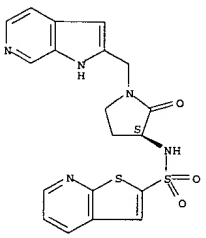
RN 251937-96-9 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

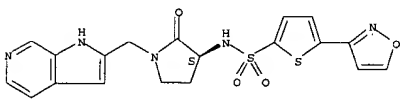
RN 251937-99-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-00-8 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

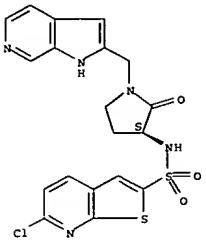
Absolute stereochemistry.



RN 251938-01-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

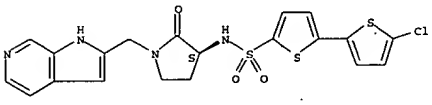
Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



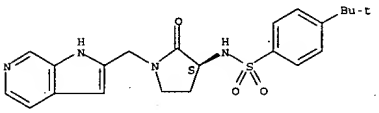
RN 251938-02-0 CAPLUS
CN {2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



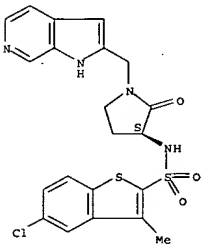
RN 251938-03-1 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



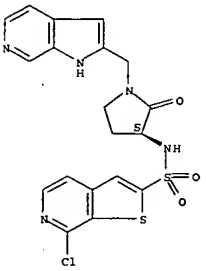
RN 251938-04-2 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251938-07-5 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

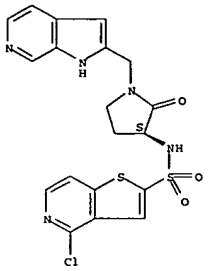


RN 251938-08-6 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

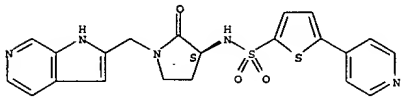
L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 251938-05-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

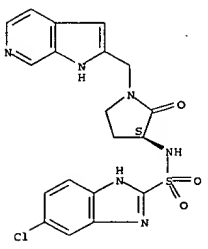
Absolute stereochemistry.



RN 251938-06-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

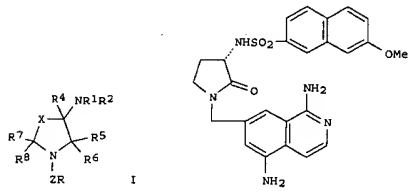
ACCESSION NUMBER: 1999:784099 CAPLUS
DOCUMENT NUMBER: 132:22881
TITLE: Sulfonic acid or sulfonylamino N-(heteroaralkyl)azaheterocyclic amides as inhibitors of factor Xa
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962904	A1	19991209	WO 1999-US12312	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
CA 2333994	AA	19991209	CA 1999-2333994	19990603
AU 9943298	A1	19991220	AU 1999-43298	19990603
AU 758642	B2	20030327		
EP 1086099	A1	20010328	EP 1999-955266	19990603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
BR 9910899	A	20011009	BR 1999-10899	19990603
JP 2002517393	T2	20020618	JP 2000-552115	19990603
US 6281227'	B1	20010828	US 1999-453307	19991202
NO 2000005912	A	20010131	NO 2000-5912	20001122
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.: US 1998-90492 A2 19980603
US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
WO 1999-US12312 W 19990603
US 1999-453307 A3 19991202

OTHER SOURCE(S): MARPAT 132:22881
GI

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

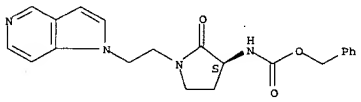


AB Aza heterocycles I [X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared I are inhibitors of the activity of Factor Xa. Thus, the amide II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT **209286-49-7P 209286-51-1P 209286-82-8P**
209286-83-9P 209286-84-0P 209287-05-8P
251936-16-0P 251936-17-1P 251936-18-2P
251936-22-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN 209286-49-7 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



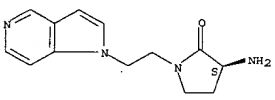
RN 209286-51-1 CAPLUS
CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CRN 209286-50-0
CMF C13 H16 N4 O

Absolute stereochemistry.

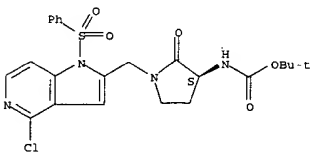


CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 209286-82-8 CAPLUS
CN Carbamic acid, [(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

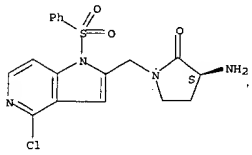
Absolute stereochemistry.



RN 209286-83-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-4-chloro-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

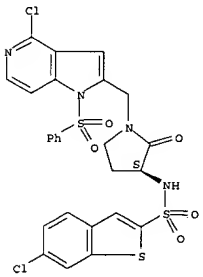
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 209286-84-0 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

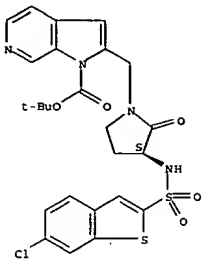
Absolute stereochemistry.



RN 209287-05-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

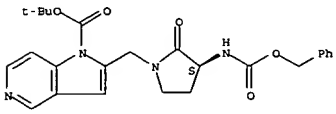
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



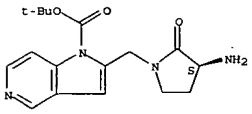
RN 251936-16-0 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251936-17-1 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

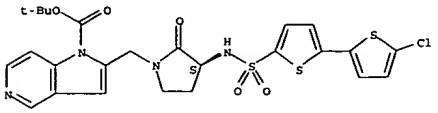
Absolute stereochemistry.



RN 251936-18-2 CAPLUS

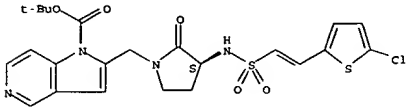
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[(5'-chloro[2,2'-bithiophen]-5-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251936-22-8 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



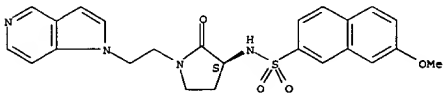
IT **209285-47-2P 209285-74-5P 209285-75-6P**
209285-82-5P 209285-83-6P 209285-84-7P
209285-85-8P 251936-19-3P 251936-23-9P
251937-85-6P 251937-86-7P 251937-87-8P
251937-88-9P 251937-89-0P 251937-90-3P
251937-91-4P 251937-92-5P 251937-93-6P
251937-94-7P 251937-95-8P 251937-96-9P
251937-97-0P 251937-98-1P 251937-99-2P
251938-00-8P 251938-01-9P 251938-02-0P
251938-03-1P 251938-04-2P 251938-05-3P
251938-06-4P 251938-07-5P 251938-08-6P
251938-35-9P 251938-38-2P 251938-39-3P
251938-46-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)
RN 209285-47-2 CAPLUS
CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
INDEX NAME)

CM 1

CRN 209285-46-1
CMF C24 H24 N4 O4 S

Absolute stereochemistry.



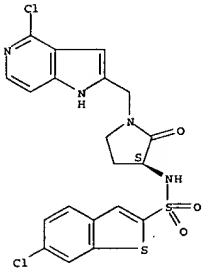
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 209285-74-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[(4-chloro-1H-pyrrolo[3,2-c]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

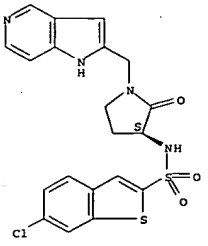
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 209285-75-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

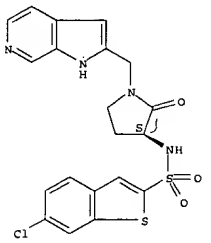
Absolute stereochemistry.



RN 209285-82-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

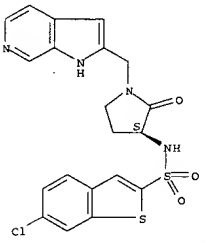


RN 209285-83-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

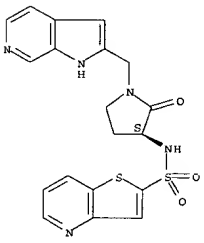
CRN 209285-82-5
CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.



CM 2

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

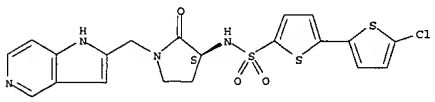


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 251936-19-3 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



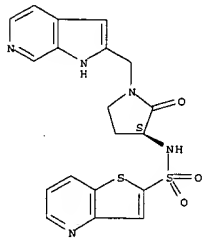
RN 251936-23-9 CAPLUS
CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CRN 76-05-1
CMF C2 H F3 O2

RN 209285-84-7 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



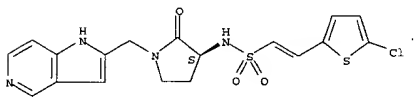
RN 209285-85-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-84-7
CMF C19 H17 N5 O3 S2

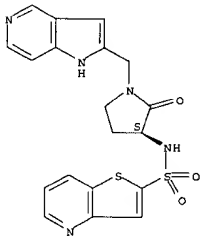
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



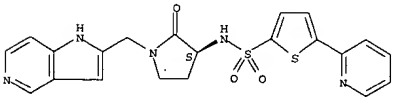
RN 251937-85-6 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251937-86-7 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

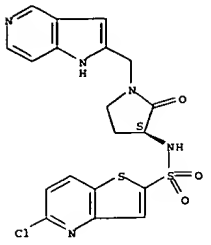
Absolute stereochemistry.



RN 251937-87-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

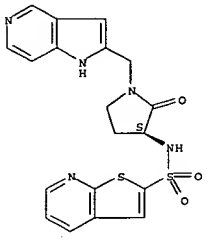
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-88-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

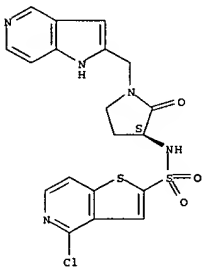


RN 251937-89-0 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

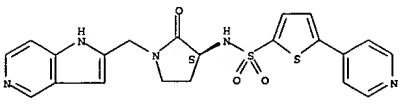
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 251937-93-6 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

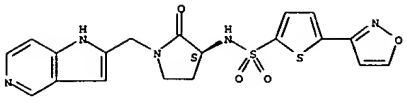
Absolute stereochemistry.



RN 251937-94-7 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

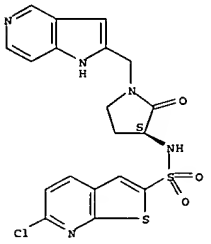
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



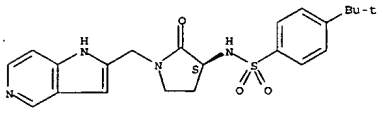
RN 251937-90-3 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



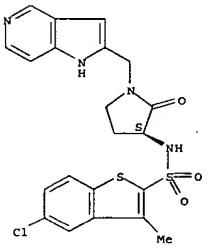
RN 251937-91-4 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



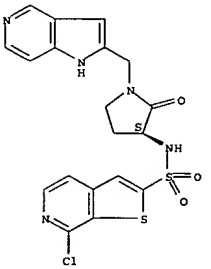
RN 251937-92-5 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-95-8 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

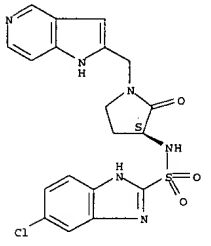
Absolute stereochemistry.



RN 251937-96-9 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

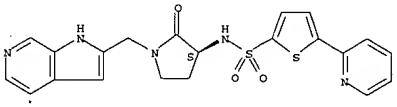
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-97-0 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

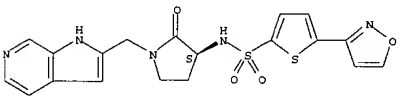
Absolute stereochemistry.



RN 251937-98-1 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

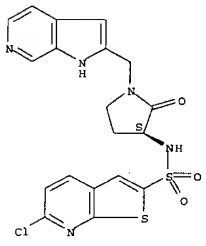
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



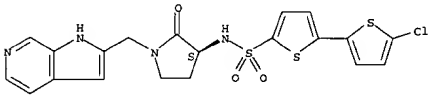
RN 251938-01-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-02-0 CAPLUS
CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

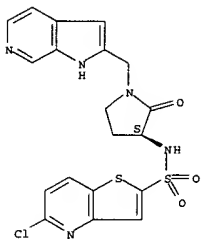
Absolute stereochemistry.



RN 251938-03-1 CAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

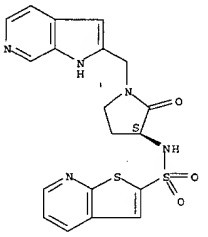
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251937-99-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

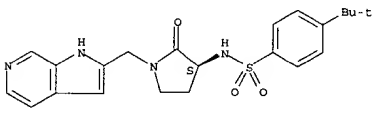
Absolute stereochemistry.



RN 251938-00-8 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

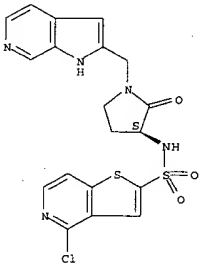
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



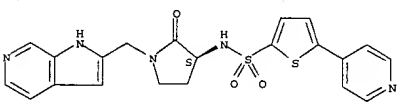
RN 251938-04-2 CAPLUS
CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-05-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

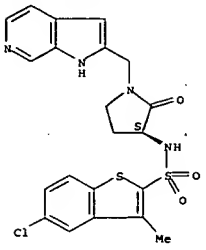
Absolute stereochemistry.



RN 251938-06-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

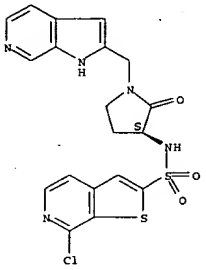
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 251938-07-5 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

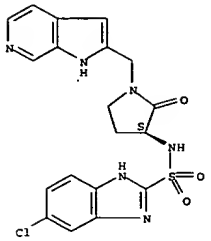
Absolute stereochemistry.



RN 251938-08-6 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

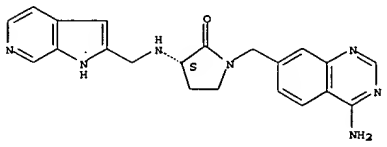
Absolute stereochemistry.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



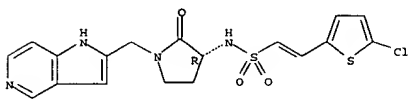
RN 251938-35-9 CAPLUS
CN 2-Pyrrolidinone, 1-[(4-amino-7-quinazolinyl)methyl]-3-[(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251938-38-2 CAPLUS
CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

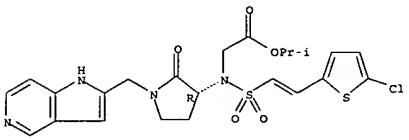
Absolute stereochemistry.
Double bond geometry unknown.



L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 251938-39-3 CAPLUS
CN Glycine, N-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

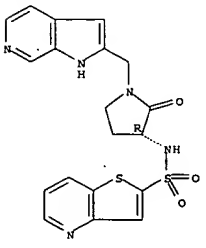


RN 251938-46-2 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3R)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 251938-45-1
CMF C19 H17 N5 O3 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:402310 CAPLUS
DOCUMENT NUMBER: 129:81744
TITLE: Preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compounds as inhibitors of factor Xa
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Henry W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; et al.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2274686	AA	19980618	CA 1997-2274686	19971203
AU 9855182	A1	19980703	AU 1998-55182	19971203
AU 726637	B2	20001116		
EP 944386	A1	19990929	EP 1997-951573	19971203
EP 944386	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1244798	A	20000216	CN 1997-181387	19971203
BR 9713921	A	20000321	BR 1997-13921	19971203
JP 2001506630	T2	20010522	JP 1998-526844	19971203
AP 1032	A	20011224	AP 1999-1552	19971203
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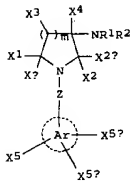
AT 224192	E	20021015	AT 1997-951573	19971203
PT 944386	T	20030131	PT 1997-951573	19971203
ES 2184145	T3	20030401	ES 1997-951573	19971203
ZA 9711207	A	19980720	ZA 1997-11207	19971212
US 6602864	B1	20030805	US 1998-90492	19980603
NO 9902853	A	19990810	NO 1999-2853	19990611
NO 312416	B1	20020506		
KR 2000057528	A	20000925	KR 1999-705236	19990611
US 6281227	B1	20010828	US 1999-453307	19991202
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.: US 1996-33159P P 19961213
WO 1997-US22406 W 19971203
US 1998-90492 A2 19980603
WO 1999-US12312 A2 19990603
US 1999-453307 A3 19991202

OTHER SOURCE(S): MARPAT 129:81744
GI



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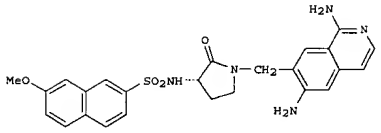


II

AB The compds. of formula [I; Ar1 = a bicyclic heteroaryl containing ≥1 N atom; Z = alkenyl; R1 = H, (un)substituted alkyl, aralkyl, or heteroalkyl, hydroxyalkyl, carboxy alkyl, carbamoylalkyl, aminoalkyl, etc.; R2 = R3S(O)p, R3R4NS(O)p; R3 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aralkenyl, heteroaralkenyl; or R1 and R3 taken together with N(O)p or NS(O)pNR4 through which R1 and R3 are linked from a 5 to 7 membered (un)substituted heterocyclyl; wherein p = 1, 2; R4 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; X1, X1a = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or X and X1a are taken together to form oxo; X3 = H, OH, (un)substituted alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; or X3 or one of X1 and X1a taken together form a 4 to 7 membered cycloalkyl; X5, X5a, X5b = H, (un)substituted NH2, HONH, alkoxyamino, NHNH2, (un)substituted OH, CONH2 or SO2NH2, halo, cyano, NO2,

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

etc.; one of X5, X5a, and X5a = H, HO or (H, optionally substituted lower alkyl, hydroxy, alkoxy, or amino)NH that substitutes the distal ring of Ar1 at a position alpha to a nitrogen thereof} herein exhibit useful pharmacol. activity and accordingly are incorporated into pharmaceutical compns. and used in the treatment of patients suffering from certain medical disorders. More specifically, they are inhibitors of the activity of Factor Xa. The present invention is directed to compds. of formula I, compns. contg. compds. of formula I, and their use, which are for treating a patient suffering from, or subject to, physiol. condition (disorder) which can be ameliorated by the administration of an inhibitor of the activity of Factor Xa. The physiol. disorder is venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure assocd. with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post-coronary or venous angioplasty, maintenance of vascular access patency in long-term hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections and cancer. Thus, 3-(S)-amino-1-(6-amino-1-chloroisoquinolin-7-ylmethyl)pyrrolidin-2-one was coupled with 7-methoxynaphthalene-2-sulfonyl chloride followed by amination with ammonium acetate in PhOH at 115° for 2 h gave the title compd., N-[N-(isoquinolinylmethyl)oxopyrrolidinyl]naphthalenesulfona mide (II.CF3CO2H). II.CF3CO2H in vitro inhibited factor Xa, thrombin, trypsin, tissue-plasminogen activator (t-PA), plasmin and activated protein C with Ki value of 80 nM.

IT **209285-47-2P 209285-74-5P 209285-75-6P 209285-83-6P 209285-85-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compds. as inhibitors of factor Xa)

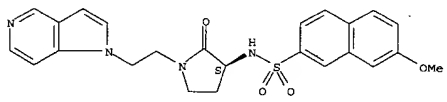
RN 209285-47-2 CAPLUS
CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-46-1
CMF C24 H24 N4 O4 S

Absolute stereochemistry.

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

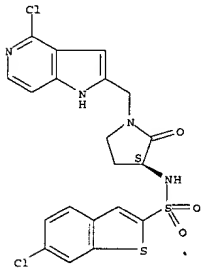


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 209285-74-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[(4-chloro-1H-pyrrolo[3,2-c]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

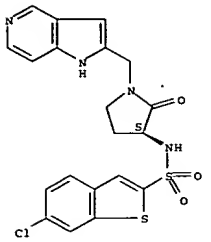
Absolute stereochemistry.



RN 209285-75-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

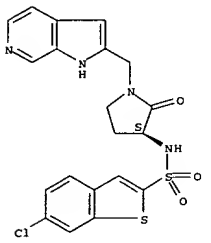


RN 209285-83-6 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-82-5
CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.



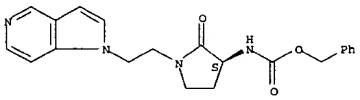
CM 2

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT **209286-49-7P 209286-51-1P 209286-82-8P**
209286-83-9P 209286-84-0P 209287-05-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compds. as inhibitors of factor Xa)

RN 209286-49-7 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

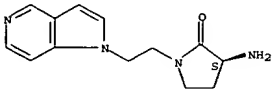


RN 209286-51-1 CAPLUS
CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 209286-50-0
CMF C13 H16 N4 O

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 209286-82-8 CAPLUS
CN Carbamic acid, [(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

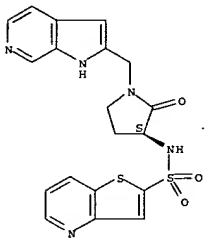
L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CRN 76-05-1
CMF C2 H F3 O2

RN 209285-85-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-84-7
CMF C19 H17 N5 O3 S2

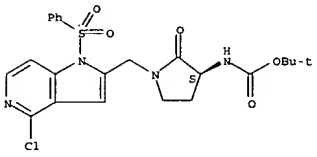
Absolute stereochemistry.



CM 2

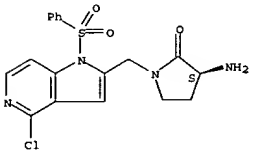
CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 209286-83-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine, 2-[[(3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-4-chloro-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

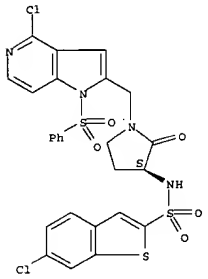


● HCl

RN 209286-84-0 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

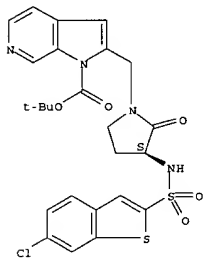
Absolute stereochemistry.

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 209287-05-8 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-1-carboxylic acid, 2-[[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

```
=> s l5 and (factor xa or diagnos? or cardioprotect? or direct thrombin inhibit? or
anticoagula? or antiplatelet or fibrinoloyt? or heparin or fibrinogen or
streptokinase or urokinase or tissue plasminogen activator or tpa)
            10 L5
        902352 FACTOR
        804002 FACTORS
       1424586 FACTOR
                  (FACTOR OR FACTORS)
          8728 XA
         13639 XAS
         22358 XA
                  (XA OR XAS)
          5468 FACTOR XA
                  (FACTOR(W)XA)
        231283 DIAGNOS?
          7907 CARDIOPROTECT?
        568995 DIRECT
          7067 DIRECTS
        575210 DIRECT
                  (DIRECT OR DIRECTS)
         33287 THROMBIN
           193 THROMBINS
         33292 THROMBIN
                  (THROMBIN OR THROMBINS)
       1750384 INHIBIT?
           516 DIRECT THROMBIN INHIBIT?
                  (DIRECT(W)THROMBIN(W)INHIBIT?)
         29943 ANTICOAGULA?
          4256 ANTIPLATELET
            56 ANTIPLATELETS
          4281 ANTIPLATELET
                  (ANTIPLATELET OR ANTIPLATELETS)
             1 FIBRINOLOYT?
         45329 HEPARIN
          1716 HEPARINS
         45437 HEPARIN
                  (HEPARIN OR HEPARINS)
         28619 FIBRINOGEN
         15455 FIBRINOGENS
         30871 FIBRINOGEN
                  (FIBRINOGEN OR FIBRINOGENS)
          3787 STREPTOKINASE
            40 STREPTOKINASES
          3792 STREPTOKINASE
                  (STREPTOKINASE OR STREPTOKINASES)
         10764 UROKINASE
            54 UROKINASES
         10768 UROKINASE
                  (UROKINASE OR UROKINASES)
        641280 TISSUE
        315311 TISSUES
        818920 TISSUE
                  (TISSUE OR TISSUES)
         26300 PLASMINOGEN
           174 PLASMINOGENS
         26310 PLASMINOGEN
                  (PLASMINOGEN OR PLASMINOGENS)
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         92881 ACTIVATOR
         29706 ACTIVATORS
        111084 ACTIVATOR
                 (ACTIVATOR OR ACTIVATORS)
          5824 TISSUE PLASMINOGEN ACTIVATOR
                 (TISSUE(W)PLASMINOGEN(W)ACTIVATOR)
         17211 TPA
            43 TPAS
         17233 TPA
                 (TPA OR TPAS)
L7            9 L5 AND (FACTOR XA OR DIAGNOS? OR CARDIOPROTECT? OR DIRECT THROMB
                IN INHIBIT? OR ANTICOAGULA? OR ANTIPLATELET OR FIBRINOLOYT? OR
                HEPARIN OR FIBRINOGEN OR STREPTOKINASE OR UROKINASE OR TISSUE
                PLASMINOGEN ACTIVATOR OR TPA)

=> s 1-9 ibib abs
       8307201 1
       1757794 9
            14 IBIB
        220746 ABS
L8            0 1-9 IBIB ABS
                 (1(W)9(W)IBIB(W)ABS)

=> del l8 y;d 1-9 ibib abs
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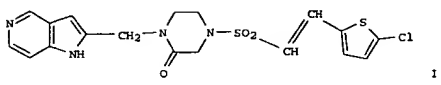
L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:832890 CAPLUS
DOCUMENT NUMBER: 142:19473
TITLE: Comparing Ligand Interactions with Multiple Receptors via Serial Docking
AUTHOR(S): Fernandes, Miguel X.; Kairys, Visvaldas; Gilson, Michael K.
CORPORATE SOURCE: Center for Advanced Research in Biotechnology, U. Maryland Biotechnology Institute, Rockville, MD, 20850, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2004), 44(6), 1961-1970
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Standard uses of ligand-receptor docking typically focus on the association of candidate ligands with a single targeted receptor, but actual applications increasingly require comparisons across multiple receptors. This study demonstrates that comparative docking to multiple receptors can help to select homol. models for virtual compound screening and to discover ligands that bind to one set of receptors but not to another, potentially similar, set. A serial docking algorithm is furthermore described that reduces the computational costs of such calcns. by testing compds. against a series of receptor structures and discarding a compound as soon as it fails to satisfy specified bind/no bind criteria for each receptor. The algorithm also realizes substantial efficiencies by taking advantage of the fact that a ligand typically binds in similar conformations to similar receptors. Thus, once detailed docking has been used to fit a ligand into the first of a series of similar receptors, much less extensive calcns. can be used for the remaining structures.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:89919 CAPLUS
DOCUMENT NUMBER: 138:247939
TITLE: Discovery of an orally efficacious inhibitor of coagulation **factor Xa** which incorporates a neutral P1 ligand
AUTHOR(S): Choi-Sledeski, Yong Mi; Kearney, Robert; Poli, Gregory; Pauls, Henry; Gardner, Charles; Gong, Yong; Becker, Michael; Davis, Roderick; Spada, Alfred; Liang, Guyan; Chu, Valeria; Brown, Karen; Collussi, Dennis; Leadley, Robert, Jr.; Rebello, Sam; Moxey, Phillip; Morgan, Suzanne; Bentley, Ross; Kasiewski, Charles; Maignan, Sebastien; Guilloteau, Jean-Pierre; Mikol, Vincent
CORPORATE SOURCE: Department of Medicinal Chemistry, Aventis Pharmaceuticals, Bridgewater, NJ, 08807-0800, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(5), 681-684
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:247939
GI



I

AB The discovery and SAR of ketopiperazino methylazaindole **factor Xa** inhibitors are described. Structure-activity data suggesting that this class of inhibitors does not bind in the canonical mode were confirmed by an X-ray crystal structure showing the neutral haloarom. bound in the S1 subsite. The most potent azaindole (I, RPR209685), is selective against related serine proteases and attains higher levels of exposure upon oral dosing than comparable benzamidines and benzamidine isosteres. Compound I was efficacious in the canine AV model of thrombosis.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:894400 CAPLUS
DOCUMENT NUMBER: 138:133092
TITLE: Crystal Structures of Two Potent Nonamidine Inhibitors Bound to **Factor Xa**
AUTHOR(S): Adler, Marc; Kochanny, Monica J.; Ye, Bin; Rumennik, Galina; Light, David R.; Biancalana, Sara; Whitlow, Marc
CORPORATE SOURCE: Berlex Biosciences, Richmond, CA, 94804-0099, USA
SOURCE: Biochemistry (2002), 41(52), 15514-15523
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB There has been intense interest in the development of **factor Xa** inhibitors for the treatment of thrombotic diseases. Our laboratory has developed a series of novel non-amidine inhibitors of **factor Xa**. This paper presents two crystal structures of compds. from this series bound to **factor Xa**. The first structure is derived from the complex formed between **factor Xa** and compound 1. Compound 1 was the first non-amidine **factor Xa** inhibitor from our laboratory that had measurable potency in an in vitro assay of **anticoagulant** activity. The second compound, 2, has a molar affinity for **factor Xa** (Kiapp) of 7 pM and good bioavailability. The two inhibitors bind in an L-shaped conformation with a chloroarom. ring buried deeply in the S1 pocket. The opposite end of these compds. contains a basic substituent that extends into the S4 binding site. A chlorinated Ph ring bridges the substituents in the S1 and S4 pockets via amide linkers. The overall conformation is similar to the previously published structures for amidine-based inhibitors complexed with **factor Xa**. However, there are significant differences in the interactions between the inhibitor and the protein at the atomic level. Most notably, there is no group that forms a salt bridge with the carboxylic acid at the base of the S1 pocket (Asp189). Each inhibitor forms only one well-defined hydrogen bond to the protein. There are no direct charge-charge interactions. The results indicate that electrostatic interactions play a secondary role in the binding of these potent inhibitors.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:630893 CAPLUS
DOCUMENT NUMBER: 135:195505
TITLE: Preparation of azaheterocyclic sulfonamides as **factor Xa** inhibitors
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 90,492.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281227	B1	20010828	US 1999-453307	19991202
WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
WO 9962904	A1	19991209	WO 1999-US12312	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
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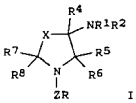
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.:

US 1996-33159P	P 19961213
WO 1997-US22406	A2 19971203
US 1998-90492	A2 19980603
WO 1999-US12312	A2 19990603
US 1999-453307	A 19991202

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 135:195505
GI



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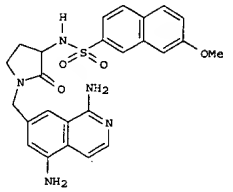


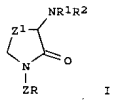
II

AB Title compds. [I; X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H,
(un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted
alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl;
R5,
R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl,
heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared Thus,
title
compound II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic
acid,
and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of
80 nM for inhibition of **factor Xa**.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:416755 CAPLUS
DOCUMENT NUMBER: 135:46082
TITLE: Preparation of
N-(oxopyrrolidinyl)naphthalenesulfonami
des and analogs as **factor Xa**
inhibitors
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton,
Jeffrey N.; Ewing, William R.; Green, Daniel M.;
Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6281227	B1	20010828	US 1999-453307	19991202
PRIORITY APPLN. INFO.:			US 1999-453307	A 19991202
			US 1996-33159P	P 19961213
			WO 1997-US22406	A2 19971203
			US 1998-90492	A2 19980603
			WO 1999-US12312	A2 19990603

OTHER SOURCE(S): MARPAT 135:46082
GI

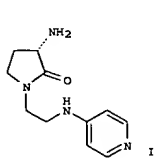


I

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
AB Title compds. [(un)substituted I; R = N-containing heteroaryl; R1 = H,
(acyl)alkyl, (hetero)arylalkyl, etc.; R2 = H, (hetero)arylalkyl,
carbamoylalkyl, etc.; Z = (NH- or NHCO-interrupted or -terminated)
alkylene; Z1 = (CH2)0-3] were prepared Thus, I (R1 = H, Z1 = CH2)(II; R
=
H, R2 = CO2cMe3, Z = bond) was N-alkylated by 7-bromomethyl-1-
chloroisoquinoline (preparation each given) and the deprotected product
N-acylated by 7-methoxynaphthalene-2-sulfonyl chloride (preparation
given) to
give, in 2 addnl. steps, II (R = 1-amino-7-isoquinolyl, R2 =
7-methoxynaphthalene-2-sulfonyl, Z = CH2). Data for biol. activity of I
were given.

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:543073 CAPLUS
DOCUMENT NUMBER: 133:261091
TITLE: Crystal Structures of Human **Factor**
Xa Complexed with Potent Inhibitors
AUTHOR(S): Maignan, Sebastien; Guilloteau, Jean-Pierre;
Pouzieux,
Stephanie; Choi-Sledeski, Yong Mi; Becker, Michael
R.;
Klein, Scott I.; Ewing, William R.; Pauls, Henry W.;
Spada, Alfred P.; Mikol, Vincent
CORPORATE SOURCE: Department of Structural Biology, Aventis Pharma,
Vitry/Seine, F-94403, Fr.
SOURCE: Journal of Medicinal Chemistry (2000), 43(17),
3226-3232
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Involved in the coagulation cascade, **factor Xa** (FXa)
is a serine protease which has received great interest as a potential
target for the development of new antithrombotics. Although there is a
great wealth of structural data on thrombin complexes, few structures of
ligand/FXa complexes have been reported, presumably because of the
difficulty in growing crystals. Reproducible crystallization conditions
for human
des-Gla1-45 coagulation FXa have been found. This has led to an
improvement in the diffraction quality of the crystals (about 2.1 Å)
when compared to the previously reported forms (2.3-2.8 Å) thus
providing a suitable platform for a structure-based drug design approach.
A series of crystal structures of noncovalent inhibitors complexed with
FXa have been determined, three of which are presented herein. These
include
compds. containing the benzamidine moiety and surrogates of the basic
group.
The benzamidine-containing compound binds in a canonical fashion typical
of
synthetic serine protease inhibitors. On the contrary, mols. that
contain
surrogates of the benzamidine group do not make direct hydrogen-bonding
interactions with the carboxylate of Asp189 at the bottom of the S1
pocket. The structural data provide a likely explanation for the
specificity of these inhibitors and a great aid in the design of
bioavailable potent FXa inhibitors.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR
THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:379659 CAPLUS
DOCUMENT NUMBER: 133:144473
TITLE: Solid-phase parallel synthesis of azarene pyrrolidinones as **factor Xa** inhibitors
AUTHOR(S): Gong, Yong; Becker, Michael; Choi-Sledeski, Yong Mi; Davis, Roderick S.; Salvino, Joseph M.; Chu, Valeria; Brown, Karen D.; Pauls, Henry W.
CORPORATE SOURCE: Department of Medicinal Chemistry, Rhone-Poulenc Rorer, Collegeville, PA, 19426, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1033-1036
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:144473
GI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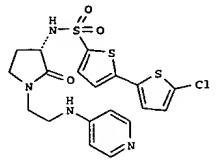

II

AB A focused library (4+14) prepared from 4-aminopyridine and 4-, 5-, and 6-azoindole templates was synthesized using 14 polymer-supported 4-amido-2,3,5,6-tetrafluorophenyl (TFP) sulfonate esters and heteroarylmethyl-substituted arylsulfonylamino pyrrolidinones such as I to give a library of **factor Xa** inhibitors such as II. Several compds. were identified as **factor Xa** inhibitors (IC50≤0.1 μM) helping to establish the SAR among these four series of azarene pyrrolidinones. E.g., **factor Xa** was inhibited by II with a Ki of 15 nM.
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

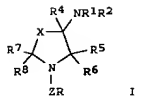
L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:784099 CAPLUS
DOCUMENT NUMBER: 132:22881
TITLE: Sulfonic acid or sulfonylamino N-(heteroaralkyl)azaheterocyclic amides as inhibitors of **factor Xa**
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962904	A1	19991209	WO 1999-US12312	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
CA 2333994	AA	19991209	CA 1999-2333994	19990603
AU 9943298	A1	19991220	AU 1999-43298	19990603
AU 758642	B2	20030327		
EP 1086099	A1	20010328	EP 1999-955266	19990603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
BR 9910899	A	20011009	BR 1999-10899	19990603
JP 2002517393	T2	20020618	JP 2000-552115	19990603
US 6281227	B1	20010828	US 1999-453307	19991202
NO 2000005912	A	20010131	NO 2000-5912	20001122
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.: US 1998-90492 A2 19980603
US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
WO 1999-US12312 W 19990603
US 1999-453307 A3 19991202

OTHER SOURCE(S): MARPAT 132:22881
GI

L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)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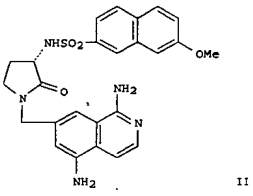
II

AB Aza heterocycles I [X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared I are inhibitors of the activity of **Factor Xa**. Thus, the amide II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of **factor Xa**.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:402310 CAPLUS
DOCUMENT NUMBER: 129:81744
TITLE: Preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compounds as inhibitors of **factor Xa**
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Henry W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; et al.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2274686	AA	19980618	CA 1997-2274686	19971203
AU 9855182	A1	19980703	AU 1998-55182	19971203
AU 726637	B2	20001116		
EP 944386	A1	19990929	EP 1997-951573	19971203
EP 944386	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1244798	A	20000216	CN 1997-181387	19971203
BR 9713921	A	20000321	BR 1997-13921	19971203
JP 2001506630	T2	20010522	JP 1998-526844	19971203
AP 1032	A	20011224	AP 1999-1552	19971203
W: GH, KE, LS, MW, SD, SZ, UG, ZW				
AT 224192	E	20021015	AT 1997-951573	19971203
PT 944386	T	20030131	PT 1997-951573	19971203
ES 2184145	T3	20030401	ES 1997-951573	19971203
ZA 9711207	A	19980720	ZA 1997-11207	19971212
US 6602864	B1	20030805	US 1998-90492	19980603
NO 9902853	A	19990810	NO 1999-2853	19990611
NO 312416	B1	20020506		
KR 2000057528	A	20000925	KR 1999-705236	19990611
US 6281227	B1	20010828	US 1999-453307	19991202
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.: US 1996-33159P P 19961213
WO 1997-US22406 W 19971203
US 1998-90492 A2 19980603
WO 1999-US12312 A2 19990603
US 1999-453307 A3 19991202

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 129:81744
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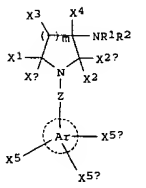

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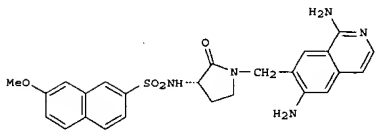

II

AB The compds. of formula [I; Ar1 = a bicyclic heteroaryl containing ≥1 N atom; Z = alkenyl; R1 = H, (un)substituted alkyl, aralkyl, or heteroalkyl, hydroxyalkyl, carboxy alkyl, carbamoylalkyl, aminoalkyl, etc.; R2 = R3S(O)p, R3R4NS(O)p; R3 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aralkenyl, heteroaralkenyl; or R1 and R3 taken together with N(O)p or NS(O)pNR4 through which R1 and R3 are linked from a 5 to 7 membered (un)substituted heterocyclyl; wherein p = 1, 2; R4 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; X1, X1a = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or X and X1a are taken together to form oxo; X3 = H, OH, (un)substituted alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; or X3 or one of X1 and X1a taken together form a 4 to 7 membered cycloalkyl; X5, X5a, X5b = H, (un)substituted NH2, HONH, alkoxyamino, NHNH2, (un)substituted OH, CONH2 or SO2NH2, halo, cyano, NO2, etc.; one of X5, X5a, and X5a = H, HO or (H, optionally substituted lower alkyl, hydroxy, alkoxy, or amino)NH that substitutes the distal ring of Ar1 at a position alpha to a nitrogen thereof] herein exhibit useful

L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
pharmacol. activity and accordingly are incorporated into pharmaceutical compns. and used in the treatment of patients suffering from certain medical disorders. More specifically, they are inhibitors of the activity of **Factor Xa**. The present invention is directed to compds. of formula I, compns. contg. compds. of formula I, and their use, which are for treating a patient suffering from, or subject to, physiol. condition (disorder) which can be ameliorated by the administration of an inhibitor of the activity of **Factor Xa**. The physiol. disorder is venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure assocd. with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post-coronary or venous angioplasty, maintenance of vascular access patency in long-term hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections and cancer. Thus, 3-(S)-amino-1-(6-amino-1-chloroisoquinolin-7-ylmethyl)pyrrolidin-2-one was coupled with 7-methoxynaphthalene-2-sulfonyl chloride followed by amination with ammonium acetate in PhOH at 115° for 2 h gave the title compd., N-[N-(isoquinolinylmethyl)oxopyrrolidinyl]naphthalenesulfonamide (II.CF3CO2H). II.CF3CO2H in vitro inhibited **factor Xa**, thrombin, trypsin, **tissue-plasminogen activator** (t-PA), plasmin and activated protein C with Ki value of 80 nM.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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'?' TRUNCATION SYMBOL NOT VALID WITHIN 'CHOI SLEDESKI Y?/AU PR SLEDESKI Y?'
The truncation symbol ?  may be used only at the end of a search
term.  To specify a variable character within a word use '!', e.g.,
'wom!n' to search for both 'woman' and 'women'.  Enter "HELP
TRUNCATION" at an arrow prompt (=>) for more information.

=> s choi sledeski y?/au or sledeski y?/au or mi choi sledeski y?/au
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              0 SLEDESKI Y?/AU
              0 MI CHOI SLEDESKI Y?/AU
L8           30 CHOI SLEDESKI Y?/AU OR SLEDESKI Y?/AU OR MI CHOI SLEDESKI Y?/AU

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L9            0 ?PYRROLOPYRIDINE? AND L8

=> s l8 and l6
L10           7 L8 AND L6

=> d 1-7 ibib abs
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L10 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:89919 CAPLUS
DOCUMENT NUMBER: 138:247939
TITLE: Discovery of an orally efficacious inhibitor of coagulation factor Xa which incorporates a neutral P1 ligand
AUTHOR(S): **Choi-Sledeski, Yong Mi**; Kearney, Robert; Poli, Gregory; Pauls, Henry; Gardner, Charles; Gong, Yong; Becker, Michael; Davis, Roderick; Spada, Alfred; Liang, Guyan; Chu, Valeria; Brown, Karen; Collussi, Dennis; Leadley, Robert, Jr.; Rebello, Sam; Moxey, Phillip; Morgan, Suzanne; Bentley, Ross; Kasiewski, Charles; Maignan, Sebastien; Guilloteau, Jean-Pierre; Mikol, Vincent
CORPORATE SOURCE: Department of Medicinal Chemistry, Aventis Pharmaceuticals, Bridgewater, NJ, 08807-0800, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(5), 681-684
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:247939
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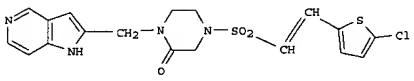

I

AB The discovery and SAR of ketopiperazino methylazaindole factor Xa inhibitors are described. Structure-activity data suggesting that this class of inhibitors does not bind in the canonical mode were confirmed by an X-ray crystal structure showing the neutral haloarom. bound in the S1 subsite. The most potent azaindole (I, RPR209685) is selective against related serine proteases and attains higher levels of exposure upon oral dosing than comparable benzamidines and benzamidine isosteres. Compound I was efficacious in the canine AV model of thrombosis.
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:630893 CAPLUS
DOCUMENT NUMBER: 135:195505
TITLE: Preparation of azaheterocyclic sulfonamides as factor Xa inhibitors
INVENTOR(S): **Choi-Sledeski, Yong Mi**; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 90,492.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281227	B1	20010828	US 1999-453307	19991202
WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
WO 9962904	A1	19991209	WO 1999-US12312	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.: US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
US 1998-90492 A2 19980603

L10 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
WO 1999-US12312 A2 19990603
US 1999-453307 A 19991202

OTHER SOURCE(S): MARPAT 135:195505
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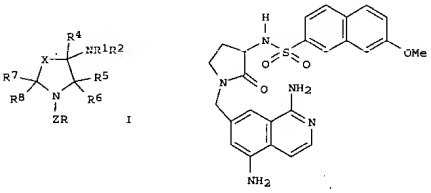

I II

AB Title compds. [I; X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared Thus, title compound II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:416755 CAPLUS
DOCUMENT NUMBER: 135:46082
TITLE: Preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors
INVENTOR(S): **Choi-Sledeski, Yong Mi**; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6281227	B1	20010828	US 1999-453307	19991202

PRIORITY APPLN. INFO.: US 1999-453307 A 19991202
US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
US 1998-90492 A2 19980603
WO 1999-US12312 A2 19990603

OTHER SOURCE(S): MARPAT 135:46082
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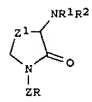


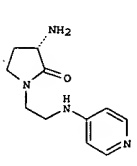
I

AB Title compds. [(un)substituted I; R = N-containing heteroaryl; R1 = H, (acyl)alkyl, (hetero)arylalkyl, etc.; R2 = H, (hetero)arylalkyl, carbamoylalkyl, etc.; Z = (NH- or NHCO-interrupted or -terminated) alkylene; Z1 = (CH2)0-3] were prepared Thus, I (R1 = H, Z1 = CH2)(II; R

L10 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
H, R2 = CO2cMe3, Z = bond) was N-alkylated by 7-bromomethyl-1-chloroisoquinoline (prepn. each given) and the deprotected product N-acylated by 7-methoxynaphthalene-2-sulfonyl chloride (prepn. given) to give, in 2 addnl. steps, II (R = 1-amino-7-isoquinolyl, R2 = 7-methoxynaphthalene-2-sulfonyl, Z = CH2). Data for biol. activity of I were given.

L10 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:543073 CAPLUS
DOCUMENT NUMBER: 133:261091
TITLE: Crystal Structures of Human Factor Xa Complexed with Potent Inhibitors
AUTHOR(S): Maignan, Sebastien; Guilloteau, Jean-Pierre; Pouzieux, Stephanie; **Choi-Sledeski, Yong Mi**; Becker, Michael R.; Klein, Scott I.; Ewing, William R.; Pauls, Henry W.; Spada, Alfred P.; Mikol, Vincent
CORPORATE SOURCE: Department of Structural Biology, Aventis Pharma, Vitry/Seine, F-94403, Fr.
SOURCE: Journal of Medicinal Chemistry (2000), 43(17), 3226-3232
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Involved in the coagulation cascade, factor Xa (FXa) is a serine protease which has received great interest as a potential target for the development of new antithrombotics. Although there is a great wealth of structural data on thrombin complexes, few structures of ligand/FXa complexes have been reported, presumably because of the difficulty in growing crystals. Reproducible crystallization conditions for human des-Gla1-45 coagulation FXa have been found. This has led to an improvement in the diffraction quality of the crystals (about 2.1 Å) when compared to the previously reported forms (2.3-2.8 Å) thus providing a suitable platform for a structure-based drug design approach. A series of crystal structures of noncovalent inhibitors complexed with FXa have been determined, three of which are presented herein. These include compds. containing the benzamidine moiety and surrogates of the basic group. The benzamidine-containing compound binds in a canonical fashion typical of synthetic serine protease inhibitors. On the contrary, mols. that contain surrogates of the benzamidine group do not make direct hydrogen-bonding interactions with the carboxylate of Asp189 at the bottom of the S1 pocket. The structural data provide a likely explanation for the specificity of these inhibitors and a great aid in the design of bioavailable potent FXa inhibitors.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:379659 CAPLUS
DOCUMENT NUMBER: 133:144473
TITLE: Solid-phase parallel synthesis of azarene pyrrolidinones as factor Xa inhibitors
AUTHOR(S): Gong, Yong; Becker, Michael; **Choi-Sledeski, Yong Mi**; Davis, Roderick S.; Salvino, Joseph M.; Chu, Valeria; Brown, Karen D.; Pauls, Henry W.
CORPORATE SOURCE: Department of Medicinal Chemistry, Rhone-Poulenc Rorer, Collegeville, PA, 19426, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1033-1036
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:144473
GI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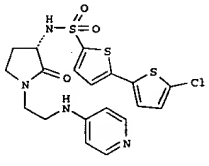

II

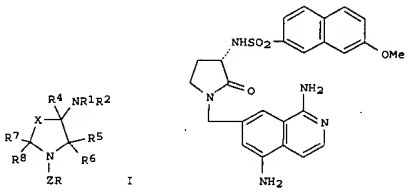
AB A focused library (4+14) prepared from 4-aminopyridine and 4-, 5-, and 6-azoindole templates was synthesized using 14 polymer-supported 4-amido-2,3,5,6-tetrafluorophenyl (TFP) sulfonate esters and heteroarylmethyl-substituted arylsulfonylamino pyrrolidinones such as I to give a library of factor Xa inhibitors such as II. Several compds. were identified as factor Xa inhibitors (IC50≤0.1 μM) helping to establish the SAR among these four series of azarene pyrrolidinones. E.g., factor Xa was inhibited by II with a Ki of 15 nM.
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:784099 CAPLUS
DOCUMENT NUMBER: 132:22881
TITLE: Sulfonic acid or sulfonylamino N-(heteroaralkyl)azaheterocyclic amides as inhibitors of factor Xa
INVENTOR(S): **Choi-Sledeski, Yong Mi**; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962904	A1	19991209	WO 1999-US12312	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
CA 2333994	AA	19991209	CA 1999-2333994	19990603
AU 9943298	A1	19991220	AU 1999-43298	19990603
AU 758642	B2	20030327		
EP 1086099	A1	20010328	EP 1999-955266	19990603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
BR 9910899	A	20011009	BR 1999-10899	19990603
JP 2002517393	T2	20020618	JP 2000-552115	19990603
US 6281227	B1	20010828	US 1999-453307	19991202
NO 2000005912	A	20010131	NO 2000-5912	20001122
US 2002013310	A1	20020131	US 2001-918039	20010730
PRIORITY APPLN. INFO.:			US 1998-90492	A2 19980603
			US 1996-33159P	P 19961213
			WO 1997-US22406	A2 19971203
			WO 1999-US12312	W 19990603
			US 1999-453307	A3 19991202

OTHER SOURCE(S): MARPAT 132:22881
GI

L10 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I II

AB Aza heterocycles I [X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared I are inhibitors of the activity of Factor Xa. Thus, the amide II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:402310 CAPLUS
DOCUMENT NUMBER: 129:81744
TITLE: Preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compounds as inhibitors of factor Xa
INVENTOR(S): **Choi-Sledeski, Yong Mi**; Pauls, Henry W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; et al.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2274686	AA	19980618	CA 1997-2274686	19971203
AU 9855182	A1	19980703	AU 1998-55182	19971203
AU 726637	B2	20001116		
EP 944386	A1	19990929	EP 1997-951573	19971203
EP 944386	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1244798	A	20000216	CN 1997-181387	19971203
BR 9713921	A	20000321	BR 1997-13921	19971203
JP 2001506630	T2	20010522	JP 1998-526844	19971203
AP 1032	A	20011224	AP 1999-1552	19971203
W: GH, KE, LS, MW, SD, SZ, UG, ZW				
AT 224192	E	20021015	AT 1997-951573	19971203
PT 944386	T	20030131	PT 1997-951573	19971203
ES 2184145	T3	20030401	ES 1997-951573	19971203
ZA 9711207	A	19980720	ZA 1997-11207	19971212
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NO 9902853	A	19990810	NO 1999-2853	19990611
NO 312416	B1	20020506		
KR 2000057528	A	20000925	KR 1999-705236	19990611
US 6281227	B1	20010828	US 1999-453307	19991202
US 2002013310	A1	20020131	US 2001-918039	20010730

PRIORITY APPLN. INFO.:
US 1996-33159P P 19961213
WO 1997-US22406 W 19971203
US 1998-90492 A2 19980603

L10 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

WO 1999-US12312 A2 19990603
US 1999-453307 A3 19991202

OTHER SOURCE(S): MARPAT 129:81744
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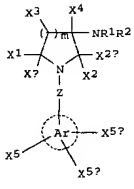

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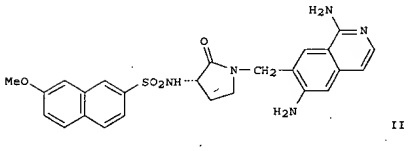

II

AB The compds. of formula [I; Ar1 = a bicyclic heteroaryl containing ≥1 N atom; Z = alkenyl; R1 = H, (un)substituted alkyl, aralkyl, or heteroalkyl, hydroxyalkyl, carboxy alkyl, carbamoylalkyl, aminoalkyl, etc.; R2 = R3S(O)p, R3R4NS(O)p; R3 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aralkenyl, heteroaralkenyl; or R1 and R3 taken together with N(O)p or NS(O)pNR4 through which R1 and R3 are linked from a 5 to 7 membered (un)substituted heterocyclyl; wherein p = 1, 2; R4 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; X1, X1a = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or X and X1a are taken together to form oxo; X3 = H, OH, (un)substituted alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; or X3 or one of X1 and X1a taken together form a 4 to 7 membered cycloalkyl; X5, X5a, X5b = H, (un)substituted NH2, HONH, alkoxyamino, NHNH2, (un)substituted OH, CONH2 or SO2NH2, halo, cyano, NO2, etc.; one of X5, X5a, and X5a = H, HO or (H, optionally substituted lower alkyl, hydroxy, alkoxy, or amino)NH that substitutes the distal ring of Ar1 at a position alpha to a nitrogen thereof] herein exhibit useful pharmacol. activity and accordingly are incorporated into pharmaceutical compns. and used in the treatment of patients suffering from certain medical disorders. More specifically, they are inhibitors of the activity of Factor Xa. The present invention is directed to compds. of formula I, compns. contg. compds. of formula I, and their use, which are for treating a patient suffering from, or subject to, physiol. condition (disorder) which can be ameliorated by the administration of an inhibitor of the activity of Factor Xa. The physiol. disorder is venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure assocd. with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post-coronary or venous angioplasty, maintenance of vascular access patency in long-term hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections and cancer. Thus, 3-(S)-amino-1-(6-amino-1-chloroisoquinolin-7-ylmethyl)pyrrolidin-2-one was coupled with 7-methoxynaphthalene-2-sulfonyl chloride followed by amination with ammonium acetate in PhOH at 115° for 2 h gave the title compd., N-[N-(isoquinolinylmethyl)oxopyrrolidinyl]naphthalenesulfona mide (II.CF3CO2H). II.CF3CO2H in vitro inhibited factor Xa, thrombin, trypsin, tissue-plasminogen activator (t-PA), plasmin and activated protein C with Ki value of 80 nM.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	145.44	478.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.98	-18.98

STN INTERNATIONAL LOGOFF AT 10:02:51 ON 23 JUN 2005